

09/ 724,897

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and searchable  
NEWS 4 JAN 27 A new search aid, the Company Name Thesaurus, available in  
CA/CAPLUS  
NEWS 5 FEB 05 German (DE) application and patent publication number format  
changes  
NEWS 6 MAR 03 MEDLINE and L MEDLINE reloaded  
NEWS 7 MAR 03 MEDLINE file segment of TOXCENTER reloaded  
NEWS 8 MAR 03 FRANCEPAT now available on STN  
NEWS 9 MAR 29 Pharmaceutical Substances (PS) now available on STN  
NEWS 10 MAR 29 WPIFV now available on STN  
NEWS 11 MAR 29 No connect hour charges in WPIFV until May 1, 2004  
NEWS 12 MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA  
NEWS 13 APR 26 PROMT: New display field available  
NEWS 14 APR 26 IFIPAT/IFIUDB/IFICDB: New super search and display field  
available  
NEWS 15 APR 26 LITAlert now available on STN  
NEWS 16 APR 27 NLDB: New search and display fields available  
  
NEWS EXPRESS MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004  
  
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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 10:43:16 ON 09 MAY 2004

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COST IN U.S. DOLLARS

FULL ESTIMATED COST

| SINCE FILE | TOTAL   |
|------------|---------|
| ENTRY      | SESSION |
| 0.42       | 0.42    |

09/ 724,897

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STRUCTURE FILE UPDATES: 7 MAY 2004 HIGHEST RN 680859-76-1  
DICTIONARY FILE UPDATES: 7 MAY 2004 HIGHEST RN 680859-76-1

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

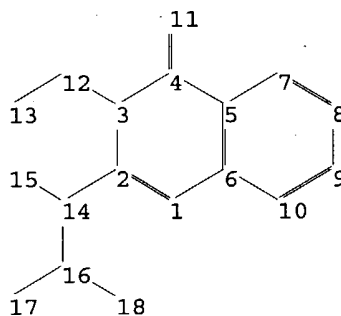
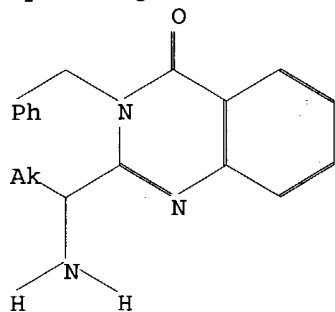
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Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\STNEXP4\QUERIES\09724897.str



chain nodes :

11 12 13 14 15 16 17 18

ring nodes :

1 2 3 4 5 6 7 8 9 10

chain bonds :

2-14 3-12 4-11 12-13 14-15 14-16 16-18 16-17

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10

exact/norm bonds :

1-2 1-6 2-3 3-12 4-11 14-15 14-16

exact bonds :

2-14 3-4 4-5 12-13 16-18 16-17

normalized bonds :

5-6 5-7 6-10 7-8 8-9 9-10

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS  
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

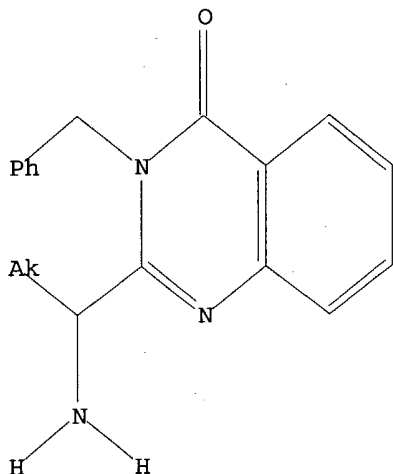
09/ 724,897

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful

FULL SEARCH INITIATED 10:44:41 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 2636 TO ITERATE

100.0% PROCESSED 2636 ITERATIONS

9 ANSWERS

SEARCH TIME: 00.00.01

L2 9 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.42

155.84

FILE 'CAPLUS' ENTERED AT 10:44:45 ON 09 MAY 2004

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FILE COVERS 1907 - 9 May 2004 VOL 140 ISS 20

FILE LAST UPDATED: 7 May 2004 (20040507/ED)

This file contains CAS Registry Numbers for easy and accurate

09/ 724,897

substance identification.

=> s l2

L3 . 5 L2

=> d l3 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 5 ANSWERS - CONTINUE? Y/(N):y

09/ 724,897

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS ON STN

ACCESSION NUMBER: 2004:80465 CAPLUS  
 DOCUMENT NUMBER: 140:139471  
 TITLE: Preparation of of quinazolinone-like derivatives to treat cellular proliferative diseases  
 INVENTOR(S): Bergnes, Gustave; Smith, Whitney W.; Yao, Bing; Morgans, David J., Jr.; MacDonald, Andrew  
 PATENT ASSIGNEE(S): Cytokinetics, Inc., USA  
 SOURCE: PCT Int. Appl., 64 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

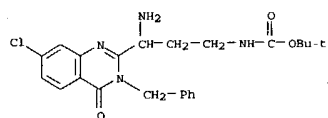
| PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE     |
|---------------|--|----------|-----------------|----------|
| WO 2004009036 | A2   | 20040129 | WO 2003-US23319 | 20030723 |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, AM, AZ, BY, BG, CA, CH, CN, CU, CR, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |          |                 |          |
| RW:           | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |          |

PRIORITY APPL. INFO.: US 2002-398224P P 20020723  
 OTHER SOURCE(S): MARPAT 140:139471

AB The invention relates to quinazolinone-like derivs. that are inhibitors of the mitotic kinesin KSP and are useful in the treatment of cellular proliferative diseases, for example cancer, hyperplasias, restenosis, cardiac hypertrophy, immune disorders and inflammation. Preparation of 3-benzyl-7-chloro-2-(3-benzyl-2-oxohexahydropyrimidin-4-yl)-3H-quinazolin-4-one is included.

IT 331323-46-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of quinazolinone derivs. to treat cellular proliferative diseases)

RN 331323-46-5 CAPLUS  
 CN Carbanic acid, [3-amino-3-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

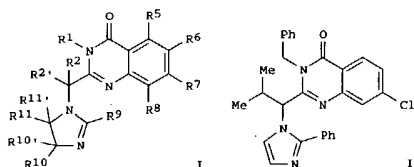


L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS ON STN

ACCESSION NUMBER: 2003:931177 CAPLUS  
 DOCUMENT NUMBER: 140:5063  
 TITLE: 2-[1-(imidazol-1-yl)alkyl]-3H-quinazolin-4-one derivatives, pharmaceutical compositions containing them, and methods of their use as KSP kinesin inhibitors for the treatment of cellular proliferative diseases  
 INVENTOR(S): Peng, Bainian; Bergnes, Gustave; Morgans, David J. C., Jr.; Dhanak, Dashyant; Knight, Steven David; Darcy, Michael Gerard  
 PATENT ASSIGNEE(S): Cytokinetics, Inc., USA; Smithkline Beecham Corporation  
 SOURCE: PCT Int. Appl., 97 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE     |
|---------------|--|----------|-----------------|----------|
| WO 2003097053 | A1   | 20031127 | WO 2003-US14787 | 20030508 |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, AM, AZ, BY, BG, CA, CH, CN, CU, CR, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |          |                 |          |
| RW:           | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |          |

US 2004077668 A1 20040422 US 2003-435069 20030508  
 PRIORITY APPL. INFO.: US 2002-379311P P 20020509  
 OTHER SOURCE(S): MARPAT 140:5063  
 GI



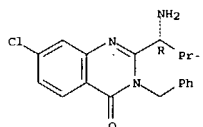
AB Comps. useful for treating cellular proliferative diseases and disorders by modulating the activity of KSP (kinesin-like spindle protein), and especially human KSP, are disclosed (no data). In particular, comps. I are claimed

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

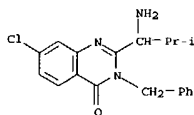
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)  
 [wherein: R1 = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl, or heteroalkyl; R2, R2' = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl, or heteroalkyl; or R2R2' = (un)substituted 3- to 7-membered ring; R5, R6, R7, R8 = H, (un)substituted alkyl or alkoxy, halo, OH, NO2, cyano, dialkylamino, alkylsulfonyl, alkylsulfonamido, alkylthio, carboxyalkyl, carboxamido, aminocarbonyl, (un)substituted aryl, aryloxy, heteroaryl, or heteroaryloxy; R9 = H, (un)substituted alkyl, aryl, aralkyl, or heteroaryl; R10, R10', R11, R11' = H, (un)substituted alkyl, aryl, or aralkyl; or R10'R11' = pi bond; including single and mixed stereoisomers and pharmaceutically acceptable salts and/or solvates]. Approx. 60 comps. I are described in examples. Comps. I having (R)-configuration at the stereogenic center bearing R2 are preferred for reasons of greater potency than the (S)-isomers. For instance, 2-(1-amino-2-methylpropyl)-3-benzyl-7-chloro-3H-quinazolin-4-one underwent a sequence of N-alkylation at amino with BrCH2CH(OMe)2 and K2CO3 (59%), amidation of the resultant secondary amine with PhCOCl and Et3N (54%), and deprotection/cyclocondensation with NH4OAc in refluxing AcOH (23%) to give invention compd. II. Comps. I are said to be active against human ovarian cancer cells SKOV3 in vitro. Visual inspection revealed that the comps. caused cell cycle arrest in the prometaphase stage of mitosis; DNA was condensed and spindle formation had initiated, but arrested cells uniformly displayed monopolar spindles, indicating that there was an inhibition of spindle pole body sepn.

IT 336113-57-6 336119-08-1, 2-(1-Amino-2-methylpropyl)-3-benzyl-7-chloro-3H-quinazolin-4-one  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (starting material; preparation of (imidazolylalkyl)quinazolinone derivs. as KSP kinesin inhibitors for the treatment of cellular proliferative diseases)  
 RN 336113-57-6 CAPLUS  
 CN 4(3H)-Quinazolinone, 2-[(1R)-1-amino-2-methylpropyl]-7-chloro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 336119-08-1 CAPLUS  
 CN 4(3H)-Quinazolinone, 2-[(1R)-1-amino-2-methylpropyl]-7-chloro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



09/ 724,897

L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS ON STN

ACCESSION NUMBER: 2001:678784 CAPLUS

DOCUMENT NUMBER: 139:214481

TITLE: Syntheses of enantiomerically pure quinazolinones  
Bergnes, Gustav; Ha, Edward; Yiannikourous, George;  
Kalaritis, Panos; Yonce, Brandon E.; Welday, Kurt  
Alan, Jr.

PATENT ASSIGNEE(S): Cytokinetics, Inc., USA; SmithKline Beecham Corp.  
SOURCE: PCT Int. Appl., 59 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent  
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

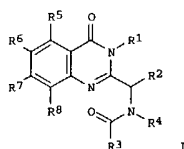
| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------|------|----------|-----------------|----------|
| WO 2003070701 | A2   | 20030828 | WO 2003-US4713  | 20030214 |
| WO 2003070701 | A3   | 20031016 |                 |          |
| WO 2003070701 | B1   | 20031218 |                 |          |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SI, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, CA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004067969 A1 20040408 US 2003-366828 20030214  
PRIORITY APPL. INFO.: US 2002-357244P P 20020215  
US 2002-380746P P 20020514

OTHER SOURCE(S): MARPAT 139:214481  
GI

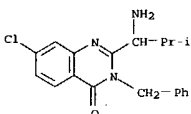


AB The present invention provides intermediates, synthetic methods and novel quinazolinone (shown as I; e.g. (R)-N-(3-aminopropyl)-N-[1-(3-benzyl-7-chloro-4-oxo-3,4-dihydroquinazolin-2-yl)-2-methylpropyl]-4-methylbenzamide) compns. of matter, which are inhibitors of the mitotic

L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

kinesin KSP (no data) and are useful in the treatment of cellular proliferative diseases, for example cancer, hyperplasias, restenosis, cardiac hypertrophy, immune disorders and inflammation (no data); only the compds., compns. of matter and synthetic methods are claimed. The method comprises contacting HO<sub>2</sub>CCH(R<sub>2</sub>)NMX (R<sub>2</sub> = oxaalkyl or (un)substituted alkyl, aryl, alkylaryl, heteroaryl, or alkylheteroaryl; X = H, protecting group (e.g. Boc, CBZ, phthalide, allyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl); e.g. valine) with iso-Bu chloroformate followed by contacting the resulting product with (un)substituted 2-aminobenzoic acids to give I. Eight example preps. of I are included. For example, (S)-[1-(3-benzyl-7-chloro-4-oxo-3,4-dihydroquinazolin-2-yl)-2-methylpropyl]carbamic acid tert-Bu ester was prepd. starting from N-Boc-L-valine and involving intermediates 2-[[2-[(tert-butoxycarbonyl)amino]-L-3-methylbutyl]amino]-4-chlorobenzoic acid, (S)-[1-(7-chloro-4-oxo-4H-benzo[d][1,3]oxazin-2-yl)-2-methylpropyl]carbamic acid tert-Bu ester, (S)-[1-[[2-benzylcarbamoyl-5-chlorophenyl]imino]methyl]-2-methylpropyl]carbamic acid tert-Bu ester (in mixt. with the final product). In the key step, to 2-[[2-[(tert-butoxycarbonyl)amino]-L-3-methylbutyl]amino]-4-chlorobenzoic acid was added 13.2 mL (0.1 mol) of iso-Bu chloroformate over 15 min (internal temp. 5°) followed by the addn. of 11.1 mL (0.1 mol) of anhyd. N-methylmorpholine over 15 min at 0°; the mixt. was stirred for an addnl. hour at 0° to give (S)-[1-(7-chloro-4-oxo-4H-benzo[d][1,3]oxazin-2-yl)-2-methylpropyl]carbamic acid tert-Bu ester. For I: R<sub>1</sub> is H or (un)substituted alkyl, aryl, alkylaryl, heteroaryl, or alkylheteroaryl, R<sub>3</sub> is H, oxaalkyl, R<sub>9</sub>OH, or (un)substituted alkyl, aryl, alkylaryl, heteroaryl, alkylheteroaryl, or oxaalkylaryl; R<sub>4</sub> is H or (un)substituted alkyl, aryl, alkylaryl, heteroaryl, or alkylheteroaryl; R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub> and R<sub>8</sub> = H, hydroxy, (un)substituted alkyl, alkoxy, halogen, fluoroalkyl, nitro, cyano, amino, alkylamino, dialkylamino, alkylsulfonyle, alkylsulfonamido, sulfonamidoalkyl, sulfonamidoaryl, alkylthio, carboxyalkyl, carboxamido, aminocarbonyl, aryl or heteroaryl; and R<sub>9</sub> is (un)substituted alkyl, aryl, alkylaryl, heteroaryl, or alkylheteroaryl. The compns. of matter comprise I and detectable amts. of 21 unreacted starting materials and/or a cyclo-dehydration reagent; they are claimed, presumably because it is important to monitor the purity of pharmaceutical compds. for the presence of such materials, which presence comprises a way of detecting use of a process of the invention.

IT 336119-88-1P, 2-(1-Amino-2-methylpropyl)-3-benzyl-7-chloro-3H-quinazolin-4-one  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(resolution, syntheses of enantiomerically pure quinazolinones)  
RN 336119-88-1 CAPLUS  
CN 4(3H)-Quinazolinone, 2-[(1S)-1-amino-2-methylpropyl]-7-chloro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



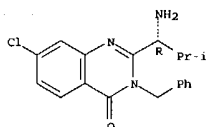
IT 336113-57-6P, (R)-2-(1-Amino-2-methylpropyl)-3-benzyl-7-chloro-3H-quinazolin-4-one

L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(syntheses of enantiomerically pure quinazolinones)

RN 336113-57-6 CAPLUS  
CN 4(3H)-Quinazolinone, 2-[(1R)-1-amino-2-methylpropyl]-7-chloro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

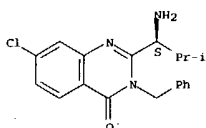
Absolute stereochemistry.



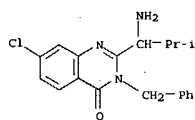
IT 336113-58-7P, (S)-2-(1-Amino-2-methylpropyl)-3-benzyl-7-chloro-3H-quinazolin-4-one 587881-26-3P, 2-(1-Amino-2-methylpropyl)-3-benzyl-7-chloro-3H-quinazolin-4-one hydrochloride  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(syntheses of enantiomerically pure quinazolinones)

RN 336113-58-7 CAPLUS  
CN 4(3H)-Quinazolinone, 2-[(1S)-1-amino-2-methylpropyl]-7-chloro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 587881-26-3 CAPLUS  
CN 4(3H)-Quinazolinone, 2-(1-amino-2-methylpropyl)-7-chloro-3-(phenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)

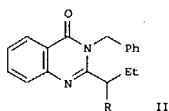


● x HCl

ACCESSION NUMBER: 2001:935583 CAPLUS  
 DOCUMENT NUMBER: 136:53759  
 TITLE: Preparation of N-acylquinazolinonealkylamines as KSP  
 kinesin inhibitors  
 INVENTOR(S): Finer, Jeffrey T.; Bergnes, Gustav; Peng, Bainian;  
 Smith, Whitney W.; Chabala, John C.; Morgans, David  
 J., Jr.  
 PATENT ASSIGNEE(S): Cytokinetics, Inc., USA  
 SOURCE: PCT Int. Appl., 179 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

| PATENT NO.            | KIND   | DATE     | APPLICATION NO. | DATE        |
|-----------------------|--|----------|-----------------|-------------|
| WO 2001098278         | A1   | 20011227 | WO 2001-US13901 | 20010427    |
| W:                    | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |             |
| RM:                   | GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                 |             |
| US 6545004            | B1   | 20030408 | US 2000-699047  | 20001024    |
| JP 2003048881         | A2   | 20030221 | JP 2002-156766  | 20001026    |
| US 6562831            | B1   | 20030513 | US 2000-724644  | 20001128    |
| US 6630479            | B1   | 20031007 | US 2000-724713  | 20001128    |
| EP 1296959            | A1   | 20030402 | EP 2001-932769  | 20010427    |
| R:                    | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |          |                 |             |
| BR 2001011898         | A  | 20030513 | BR 2001-11898   | 20010427    |
| JP 2004501140         | T2   | 20040115 | JP 2002-504234  | 20010427    |
| ZA 2002010133         | A  | 20030617 | ZA 2002-10133   | 20021213    |
| NO 2002006172         | A  | 20030220 | NO 2002-6172    | 20021220    |
| US 2004021996         | A1   | 20040205 | US 2003-312323  | 20030815    |
| PRIORITY APPL. INFO.: |  |          | US 2000-213104P | P 20000621  |
|                       |  |          | US 2000-699047  | A 20001024  |
|                       |  |          | US 1999-198253P | P 19991027  |
|                       |  |          | JP 2001-533122  | A3 20001026 |
|                       |  |          | WO 2001-US13901 | W 20010427  |

OTHER SOURCE(S): MARPAT 136:53759  
 GI

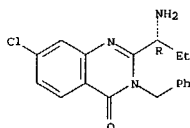


AB R1CR2R2'NRR4 [I; R = H, COR3, SO2R3', CH2R3'; R1 = (un)substituted 3,4-dihydro-4-oxoquinazolin-2-yl; R2,R2' = H, (oxa)alkyl, (hetero)aryl, etc.; R3 = H, alkyl, alkoxy, (hetero)aryl, etc.; R3',R4 = H, alkyl, (hetero)aryl, etc.; R3'' = alkyl, (hetero)aryl, etc.] were prepared. Thus, 2-(H2N)C6H4CO2H was amidated by PrCOCl and the cyclized product cyclocondensed with PhCH2NH2 to give, after bromination, quinazolinone II (R = Br) which was converted in 2 steps to II [R = N(COC6H4F-4)CH2CH2NMe2]. Data for biol. activity of I were given.

IT 336113-55-4P 336113-56-5P 336113-57-6P  
 336113-58-7P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of N-acylquinazolinonealkylamines as KSP kinesin inhibitors)

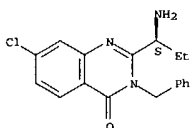
RN 336113-55-4 CAPLUS  
 CN 4(3H)-Quinazolinone, 2-[(1R)-1-aminopropyl]-7-chloro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



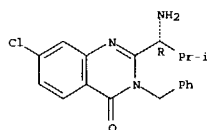
RN 336113-56-5 CAPLUS  
 CN 4(3H)-Quinazolinone, 2-[(1S)-1-aminopropyl]-7-chloro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



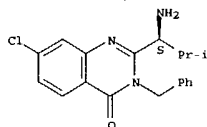
RN 336113-57-6 CAPLUS  
 CN 4(3H)-Quinazolinone, 2-[(1R)-1-amino-2-methylpropyl]-7-chloro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



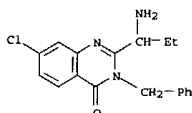
RN 336113-58-7 CAPLUS  
 CN 4(3H)-Quinazolinone, 2-[(1S)-1-amino-2-methylpropyl]-7-chloro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 336119-87-0P 383192-88-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of N-acylquinazolinonealkylamines as KSP kinesin inhibitors)

RN 336119-87-0 CAPLUS  
 CN 4(3H)-Quinazolinone, 2-(1-aminopropyl)-7-chloro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

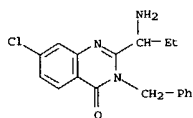


RN 383192-88-9 CAPLUS  
 CN 4(3H)-Quinazolinone, 2-(1-aminopropyl)-7-chloro-3-(phenylmethyl)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CN 1

CRN 336119-87-0

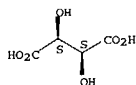
09/ 724,897

L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)  
CMF C18 H18 C1 N3 O

CM 2

CRN 147-71-7  
CMF C4 H6 O6

Absolute stereochemistry.

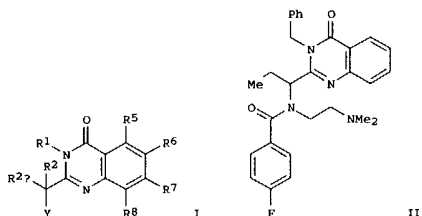
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS ON STN  
 ACCESSION NUMBER: 2001:319882 CAPLUS  
 DOCUMENT NUMBER: 134:326543  
 TITLE: Methods and compositions utilizing quinazolinones as KSP kinesin modulators  
 INVENTOR(S): Finner, Jeffrey T.; Bergnes, Gustave; Feng, Bainian; Smith, Whitney W.; Chabala, John C.  
 PATENT ASSIGNEE(S): Cytokinetics, Inc., USA  
 SOURCE: PCT Int. Appl., 168 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

| PATENT NO.            | KIND   | DATE     | APPLICATION NO. | DATE        |
|-----------------------|--|----------|-----------------|-------------|
| WO 2001030768         | A1   | 20010503 | WO 2000-US29585 | 20001026    |
| WO 2001030768         | C2   | 20020815 |                 |             |
| W:                    | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |             |
| RM:                   | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LJ, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                 |             |
| BR 2000015110         | A  | 20020702 | BR 2000-15110   | 20001026    |
| EP 1226129            | A1   | 20020731 | EP 2000-976656  | 20001026    |
| R:                    | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL   |          |                 |             |
| JP 2003048881         | A2   | 20030221 | JP 2002-156766  | 20001026    |
| JP 2003512461         | T2   | 20030402 | JP 2001-533122  | 20001026    |
| NZ 518480             | A  | 20040227 | NZ 2000-518480  | 20001026    |
| US 5562831            | B1   | 20030513 | US 2000-724644  | 20001128    |
| US 6630479            | B1   | 20031007 | US 2000-724713  | 20001128    |
| ZA 2002002930         | A  | 20021028 | ZA 2002-2930    | 20020415    |
| NO 2002001907         | A  | 20020607 | NO 2002-1907    | 20020423    |
| ZA 2002010133         | A  | 20030617 | ZA 2002-10133   | 20021213    |
| PRIORITY APPL. INFO.: |  |          | US 1999-198253P | P 19991027  |
|                       |  |          | US 2000-213104P | P 20000621  |
|                       |  |          | US 2000-699047  | A1 20001024 |
|                       |  |          | JP 2001-533122  | A3 20001026 |
|                       |  |          | WO 2000-US29585 | W 20001026  |

OTHER SOURCE(S): MARPAT 134:326543  
GI

L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



AB Quinazolinones (I) [wherein R1 = H, alkyl, (hetero)aryl, or (un)substituted alkyl(hetero)aryl; R2 and R2a = independently H or (un)substituted (oxa)alkyl, (hetero)aryl, or alkyl(hetero)aryl; Y = NR4COR3, NR4SO2R3a, NR4CH2R3b, or NHR4; R3 = H, oxaalkyl, or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, oxaalkylaryl, ether, or amino; R3a = H or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, or amino; R3b = (un)substituted alkyl, (hetero)aryl, or alkyl(hetero)aryl; R4 = H or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, or alkylene; R5-R8 = independently H, (fluoro)alkyl, alkoxy, halo, NO2, dialkylamino, alkylsulfonyl, alkylsulfonamido(alkyl or aryl), alkylthio, carboxyalkyl, carboxamido, aminocarbonyl, or (hetero)aryl] were prepared by conventional and solid phase combinatorial synthetic methods as KSP kinesin inhibitors for treatment of cellular proliferative diseases. For example, II was synthesized in a 6-step sequence involving (1) amidation of anthranilic acid with butyryl chloride (65%), (2) cyclization to give 2-propyl-3,1-[4H]benzoxazin-4-one (62%), (3) treatment with PhCH2NH2 to give 2-propyl-3-benzylquinazolin-4-one (67%), bromination (92%), addition of N,N-dimethylethylenediamine (55%), and (6) amidation with p-fluorobenzoyl chloride (65%). I are useful for treating cancer, hyperplasia, restenosis, cardiac hypertrophy, immune disorders, and inflammation (no data). Methods of screening for compds. that will bind to a KSP kinesin or are modulators of KSP kinesin activity are also disclosed.

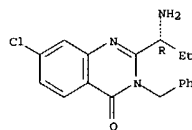
IT 336113-55-4P 336113-56-5P 336113-57-6P

336113-58-7P  
 RL: BAC (Biological activity or effector, except adverse); RSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of quinazolinone KSP kinesin modulators via conventional and solid phase combinatorial synthetic methods)

RN 336113-55-4 CAPLUS  
 CN 4(3H)-Quinazolinone, 2-[(1R)-1-aminopropyl]-7-chloro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

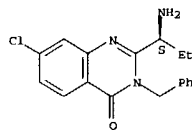
Absolute stereochemistry.

L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



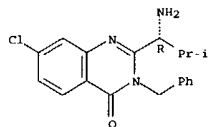
RN 336113-56-5 CAPLUS  
 CN 4(3H)-Quinazolinone, 2-[(1S)-1-aminopropyl]-7-chloro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 336113-57-6 CAPLUS  
 CN 4(3H)-Quinazolinone, 2-[(1R)-1-amino-2-methylpropyl]-7-chloro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



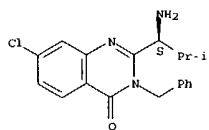
RN 336113-58-7 CAPLUS  
 CN 4(3H)-Quinazolinone, 2-[(1S)-1-amino-2-methylpropyl]-7-chloro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

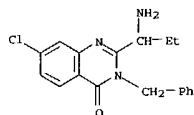


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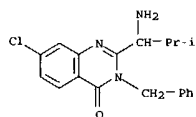
L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT 336119-87-0P 336119-88-1P  
RL: PUR (Purification or recovery); RCT (Reactant); PREP (Preparation);  
RACT (Reactant or reagent)  
(preparation of quinazolinone KSP kinesin modulators via conventional and  
solid phase combinatorial synthetic methods)  
RN 336119-87-0 CAPLUS  
CN 4(3H)-Quinazolinone, 2-(1-aminopropyl)-7-chloro-3-(phenylmethyl)- (9CI)  
(CA INDEX NAME)



RN 336119-88-1 CAPLUS  
CN 4(3H)-Quinazolinone, 2-(1-amino-2-methylpropyl)-7-chloro-3-(phenylmethyl)-  
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT